Patent Claims

1. Conjugate, characterized by the formula (I)

$$CT - LI - Sp1 - Sp2 - K \qquad (I)$$

in which

CT denotes a cytotoxic radical or a radical of a cytostatic or of a cytostatic derivative, which can additionally carry a hydroxyl, carboxyl or amino group,

LI is a linker group comprising 5 to 8 amino acid residues in the D or L configuration, which can each optionally carry protective groups,

Sp1 is absent or a carbonyl or a thiocarbonyl radical,

Sp2 is an optionally substituted arylene or alkylene radical,

K is an unsubstituted or regioselectively modified carbohydrate radical;

and their physiologically acceptable salts, hydrates and stereoisomers.

2. Conjugate according to Claim 1, characterized in that

LI is a linker group having the formula

-AA1-AA2-AA3-AA4-AA5-AA6-AA7-AA8-

wherein at least 5 of the radicals AA1 to AA8 are present, AA1 is bonded to the radical CT and

AA1 is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, alanine, valine, leucine, isoleucine, histidine, glutamate, aspartate, serine, lysine, ornithine and phenylalanine;

AA2 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of alanine, valine, phenylalanine, tyrosine, threonine, serine, isoleucine, lysine, glutamate, histidine, glycine, arginine, asparagine, glutamine, S-methyl-cysteine, methionine, arginine, aspartate, tryptophane, proline, ornithine and leucine, and can optionally carry protective groups,

AA3 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of alanine, valine, phenylalanine, tyrosine, serine, isoleucine, lysine, glutamate, histidine, glycine, arginine, aspartate, tryptophane, proline, ornithine, methionine, S-methyl-cysteine, norvaline and leucine, and can optionally carry protective groups,

AA4 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, alanine, valine, leucine, isoleucine, cysteine and norvaline;

AA5 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, alanine, valine, leucine, isoleucine, histidine, tyrosine,

glutamine, asparagine, proline, methionine, phenylalanine and cysteine;

AA6 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, alanine, valine, leucine, isoleucine, histidine, glutamine, asparagine, aspartate and proline;

AA7 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, alanine, valine, leucine, isoleucine, histidine, γ-aminobutyric acid, aspartate, glutamate, lysine and proline;

AA8 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, alanine, valine, leucine, isoleucine, histidine, lysine, proline and γ-aminobutyric acid;

and the other radicals CT, Sp1, Sp2 and K are as defined in claim 1.

3. Conjugate according to claim 2, characterized in that

LI is a linker group having the formula

-AA1-AA2-AA3-AA4-AA5-AA6-AA7-AA8-

wherein 5 to 7 of the radicals AA1 to AA8 are present, AA1 is bonded to the radical CT and

AA1 is valine, glycine, leucine, histidine;

AA2 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of alanine, phenylalanine, serine, isoleucine, glutamate, asparagine, glutamine, histidine, glycine, aspartate, tryptophane, proline, and leucine, and can optionally carry protective groups,

AA3 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of alanine, phenylalanine, serine, isoleucine, norvaline, S-methylcysteine, methionine, glutamate, histidine, glycine, aspartate, tryptophane, and leucine, and can optinally carry protective groups,

AA4 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, leucine, cysteine and norvaline, and can optionally carry protective groups,

AA5 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, alanine, valine, leucine, histidine, glutamine, phenylalanine, isoleucine, and methionine,

AA6 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, proline, glutamine, methionine, and leucine;

AA7 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, leucine, aspartate, histidine, γ-aminobutyric acid and proline;

AA8 is absent or is a naturally occurring amino acid in the D or L configuration, which is selected from the group consisting of glycine, proline and γ-aminobutyric acid ;

and the other radicals CT, Sp1, Sp2 and K are as defined in claim 1.

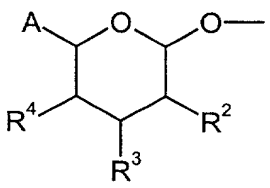
4. Conjugate according to claim 2 or 3, characterized in that

CT is camptothecin or a camptothecin derivative, which can be bonded to the rest of the conjugate via the C20-OH group,

LI is as defined in claim 2 or 3;

Sp is absent, or is a carbonyl or a thiocarbonyl radical,

K is a carbohydrate moeity of the formula (II)



(II)

wherein

A is methyl, hydroxymethyl, carboxy and esters und amides derived therefrom, alkoxymethyl, acyloxymethyl oder carboxy-alkyloxymethyl and esters und amides derived therefrom, or CH_2-B,

wherein

B is also a carbohydrate radical of the formula (II) which is bonded via its anomeric centre;

R^2, R^3 and R^4 are identical or different from each other and denote H, hydroxy, alkyloxy, carboxyalkyloxy and esters und amides derived therefrom, hydroxyalkyloxy, amino-alkyloxy, acyloxy, carboxyalkylcarbonyloxy, sulfato, phosphato, halogen, or a modified carbohydrate radical of the formula (II) which is bonded via its anomeric centre, wherein R2 additionally can denote amino or acylamino, and/or wherein two of the radicals R^2, R^3 and R^4 together can form an epoxy moiety.

5. Conjugate according to Claim 2 or 3, characterized in that

Sp2 is arylene which is substituted in ortho, meta or para position with K and Sp1 and can additionally carry 1 to 4 further radicals which are identical or different from each other and are selected from the group consisting of H, methyl, methoxy, hydroxy, carboxy, methyloxy-carbonyl, cyano, nitro, halogen, sulfonyl oder sulfonamide,

or is a linear or branched alkylene radical,

and the other radicals CT, LI, Sp1 and K are as defined in claim 2 or 3.

6. Conjugate according to any of the claims 1 to 5, characterized in that

CT is camptothecin, which can be linked to the rest of the conjugate via the C20-OH group;

and the other radicals LI, Sp1, Sp2, and K are as defined in claims 1 to 5.

7. Process for the preparation of conjugates according to Claim 1, comprising

the reaction of a compound of the formula (III)

$$K\text{-}Sp2\text{-}NH_2 \qquad (III)$$

wherein K and Sp2 are as defined in claim 1,

with a carbonic acid derivative such as, for example, phosgene, thiophosgene or a chloroformic acid ester, if appropriate in the presence of a base,

followed by the reaction with a compound of the formula (IV) which has a free primary or secondary amino group

$$CT\text{-}LI \qquad (IV)$$

wherein CT and LI are as defined in claim 1,

and

if appropriate the removal of protective groups and/or derivatization of nitrogen atoms present at preferred points of time in the preparation process and/or conversion of the compound obtained into the free acid and/or conversion of the compound obtained into one of its physiological salts by reaction with an inorganic or organic base or acid.

8. Compound according to any of the claims 1 to 6 for the treatment of diseases.

9. Medicament, comprising at least one of the compounds according to one of Claims 1 to 6.

10. Use of compounds according to one of Claims 1 to 6 for the production of medicaments for the treatment of carcinomatous disorders.